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L8: Entry 2 of 3

File: USPT

Aug 17, 1999

DOCUMENT-IDENTIFIER: US 5939587 A

TITLE: N-protected/N-substituted-beta-amino hydroxy sulfonates

BSPR:

Alternatively, N-protected/N-substituted alpha-amino alcohol and acids can be prepared by reduction of a Schiff Base, carbinolamine or enamine or reduction of an acylated amine derivative. Reducing agents include metals [platinum, palladium, palladium hydroxide, palladium on carbon, platinum oxide, rhodium and the like] with hydrogen gas or hydrogen transfer molecules such as cyclohexene or cyclohexadiene or hydride agents such as lithium aluminumhydride, sodium borohydride, lithium borohydride, sodium cyanoborohydride, diisobutylaluminum hydride or lithium tri-tert-butoxyaluminum hydride.

BSPR:

The N-protected/N-substituted alpha-amino alcohol can then be prepared by reduction of the corresponding N-protected/N-substituted alpha-amino acid of formula ##STR5## or an ester or amide thereof. This process is particularly suitable when hydroxy groups are present in the molecule. The hydroxy groups can be selectively protected, using well known hydroxy protecting groups, prior to formation of the N-protected/N-substituted alpha-amino alcohol and thus allowing selective oxidation of the alcohol group to an aldehyde moiety. The hydroxy protecting groups are then removed after formation of the aldehyde. The reduction can be accomplished using a variety of reducing reagents and conditions. Reducing agents include metals [platinum, palladium, palladium hydroxide, palladium on carbon, platinum oxide, rhodium and the like] with hydrogen gas or hydrogen transfer molecules such as cyclohexene or cyclohexadiene, or hydride agents such as lithium aluminumhydride, diborane.tetrahydrofuran, sodium borohydride, lithium borohydride, sodium cyanoborohydride, diisobutylaluminum hydride or lithium tri-tert-butoxyaluminum hydride. Preferred reducing agents include lithium aluminum hydride, lithium borohydride, sodium borohydride, borane, lithium tri-ter-butoxyaluminum hydride, and diborane.tetrahydrofuran. Most preferably, the reducing agent is lithium aluminum hydride, diborane.tetrahydrofuran or diisobutylaluminum hydride (DiBAL-H) in toluene.

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L8: Entry 3 of 3

File: USPT

Dec 8, 1998

DOCUMENT-IDENTIFIER: US 5847201 A

TITLE: N-protected/N-substituted-beta-amino hydroxy sulfonates

BSPR:

Alternatively, N-protected/N-substituted alpha-amino alcohol and acids can be prepared by reduction of a Schiff Base, carbinolamine or enamine or reduction of an acylated amine derivative. Reducing agents include metals [platinum, palladium, palladium hydroxide, palladium on carbon, platinum oxide, rhodium and the like] with hydrogen gas or hydrogen transfer molecules such as cyclohexene or cyclohexadiene or hydride agents such as lithium aluminumhydride, sodium borohydride, lithium borohydride, sodium cyanoborohydride, diisobutylaluminum hydride or lithium tri-tert-butoxyaluminum hydride.

BSPR:

The N-protected/N-substituted alpha-amino alcohol can then be prepared by reduction of the corresponding N-protected/N-substituted alpha-amino acid of formula ##STR5## or an ester or amide thereof. This process is particularly suitable when hydroxy groups are present in the molecule. The hydroxy groups can be selectively protected, using well known hydroxy protecting groups, prior to formation of the N-protected/N-substituted alpha-amino alcohol and thus allowing selective oxidation of the alcohol group to an aldehyde moiety. The hydroxy protecting groups are then removed after formation of the aldehyde. The reduction can be accomplished using a variety of reducing reagents and conditions. Reducing agents include metals [platinum, palladium, palladium hydroxide, palladium on carbon, platinum oxide, rhodium and the like] with hydrogen gas or hydrogen transfer molecules such as cyclohexene or cyclohexadiene or hydride agents such as lithium aluminumhydride, diborane.cndot.tetrahydrofuran, sodium borohydride, lithium borohydride, sodium cyanoborohydride, diisobutylaluminum hydride or lithium tri-tert-butoxyaluminum hydride. Preferred reducing agents include lithium aluminum hydride, lithium borohydride, sodium borohydride, borane, lithium tri-ter-butoxyaluminum hydride, and diborane.cndot.tetrahydrofuran. Most preferably, the reducing agent is lithium aluminum hydride, diborane.cndot.tetrahydrofuran or diisobutylaluminum hydride (DiBAL-H) in toluene.

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USPT,PGPB,JPAB,EPAB,DWPI,TDBD	14 and 15	88	L6
USPT,PGPB,JPAB,EPAB,DWPI,TDBD	(amino alcohol) or aminoalcohol	14637	L5
USPT,PGPB,JPAB,EPAB,DWPI,TDBD	11 and 12 and 13	722	L4
USPT,PGPB,JPAB,EPAB,DWPI,TDBD	sodium cyanoborohydride	6640	L3
USPT,PGPB,JPAB,EPAB,DWPI,TDBD	sodium borohydride	22054	L2
USPT,PGPB,JPAB,EPAB,DWPI,TDBD	lithium borohydride	2969	L1